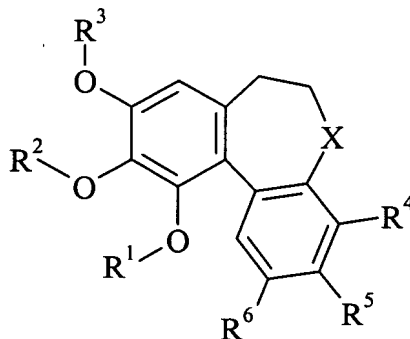


**IN THE CLAIMS:**

Claim 1 (**canceled**).

Claim 2 (**previously presented**): A compound of the formula IIa:



(IIa)

wherein

X is -CH(R<sup>7</sup>)- wherein R<sup>7</sup> is hydrogen, hydroxy, C<sub>1-7</sub>alkoxy, -OR<sup>8</sup> or -NR<sup>8</sup>R<sup>9</sup>, wherein

R<sup>8</sup> is a group -Y<sup>1</sup>R<sup>10</sup>, wherein

Y<sup>1</sup> is a direct bond, -C(O)-, -C(S)-, -S-, -C(O)O-, -C(O)NR<sup>11</sup>-, -SO<sub>2</sub>- or -SO<sub>2</sub>NR<sup>12</sup>- (wherein R<sup>11</sup> and R<sup>12</sup>, which may be the same or different, each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and

R<sup>10</sup> is selected from one of the following nine groups:

- 1) hydrogen, C<sub>1-7</sub>alkyl, C<sub>3-7</sub>cycloalkyl, C<sub>1-4</sub>alkylY<sup>8</sup>C<sub>1-4</sub>alkyl wherein Y<sup>8</sup> is as defined herein, or phenyl,

which alkyl, cycloalkyl, alkylY<sup>8</sup>alkyl or phenyl group may bear one or more substituents selected from: halogeno, amino, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, hydroxy, carboxy, carbamoyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkylsulphanyl, C<sub>1-4</sub>alkylsulphonyl, C<sub>1-4</sub>alkoxycarbonylamino, C<sub>1-4</sub>alkanoyl, phenyl, nitro, sulphate, phosphate, Z<sup>1</sup>,

wherein  $Z^1$  represents a 5-6 membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno,  $C_{1-4}$ alkyl,  $C_{1-4}$ hydroxyalkyl,  $C_{1-4}$ alkoxy,  $C_{1-4}$ aminoalkyl,  $C_{1-7}$ alkanoyl, cyano $C_{1-4}$ alkyl,  $C_{1-4}$ alkoxy $C_{1-4}$ alkyl,  $C_{1-4}$ alkylsulphonyl $C_{1-4}$ alkyl,

$C_{1-4}$ alkyl $Z^1$  (wherein  $Z^1$  is as defined herein), and a group  $-Y^2R^{13}$ , wherein

$Y^2$  is  $-NR^{14}C(O)-$  or  $-O-C(O)-$  (wherein  $R^{14}$  represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl) and

$R^{13}$  is  $C_{1-7}$ alkyl,  $C_{3-7}$ cycloalkyl or a group  $R^{15}$  wherein  $R^{15}$  is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino,  $C_{1-4}$ alkyl,  $C_{1-4}$ haloalkyl,  $C_{1-4}$ alkoxy,  $C_{1-4}$ hydroxyalkyl,  $C_{1-4}$ aminoalkyl,  $C_{1-4}$ alkylamino,  $C_{1-4}$ hydroxyalkoxy, carboxy, cyano,  $-CONR^{16}R^{17}$  and  $-NR^{18}COR^{19}$  (wherein  $R^{16}$ ,  $R^{17}$ ,  $R^{18}$  and  $R^{19}$ , which may be the same or different, each represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl);

- 2)  $R^{15}$  wherein  $R^{15}$  is as defined herein;
- 3)  $C_{2-7}$ alkenyl $R^{15}$  (wherein  $R^{15}$  is as defined herein);
- 4)  $C_{3-7}$ alkynyl $R^{15}$  (wherein  $R^{15}$  is as defined herein);
- 5)  $Z^1$  (wherein  $Z^1$  is as defined herein);
- 6)  $C_{1-7}$ alkyl $Z^1$  (wherein  $Z^1$  is as defined herein);
- 7)  $C_{1-7}$ alkyl $Y^8Z^1$ , wherein

$Z^1$  is as defined herein and

$Y^8$  is  $-C(O)-$ ,  $-NR^{59}C(O)-$ ,  $-NR^{59}C(O)C_{1-4}alkyl-$ ,  $-C(O)NR^{60}-$  or  $-C(O)NR^{60}C_{1-4}alkyl-$ , (wherein  $R^{59}$  and  $R^{60}$ , which may be the same or different, each represents hydrogen,  $C_{1-3}alkyl$ ,  $C_{1-3}hydroxyalkyl$  or  $C_{1-3}alkoxyC_{2-3}alkyl$ );

8)  $(C_{1-7}alkyl)_cY^9Z^3$ , wherein

$c$  is 0 or 1,

$Z^3$  is an amino acid group and

$Y^9$  is a direct bond,  $-C(O)-$  or  $-NR^{61}-$  (wherein  $R^{61}$  is hydrogen,  $C_{1-3}alkyl$  or  $C_{1-3}alkoxyC_{2-3}alkyl$ ); and

9)  $C_{1-7}alkylR^{15}$  (wherein  $R^{15}$  is as defined herein); and

$R^9$  is hydrogen,  $C_{1-7}alkyl$  or  $C_{3-7}cycloalkyl$ , which alkyl or cycloalkyl group may bear one or more substituents selected from  $C_{1-4}alkoxy$  and phenyl;

$R^1$ ,  $R^2$  and  $R^3$  are each independently hydrogen,  $PO_3H_2$ , sulphate,  $C_{3-7}cycloalkyl$ ,  $C_{2-7}alkenyl$ ,  $C_{2-7}alkynyl$ ,  $C_{1-7}alkanoyl$ , a group  $R^{20}C_{1-7}alkyl$  (wherein  $R^{20}$  is phenyl which may bear one or more substituents selected from  $C_{1-4}alkyl$ ,  $C_{1-4}alkoxy$ ,  $C_{1-4}aminoalkyl$  and  $C_{1-4}hydroxyalkoxy$ ),  $C_{1-7}alkyl$  or  $C_{1-7}alkylsulphonyl$ ,

which alkyl or alkylsulphonyl group may bear one or more substituents selected from: halogeno, amino,  $C_{1-4}alkylamino$ ,  $di(C_{1-4}alkyl)amino$ , hydroxy,  $C_{1-4}alkoxy$ ,  $C_{1-4}alkylsulphanyl$ ,  $C_{1-4}alkylsulphonyl$ ,  $C_{1-4}alkoxycarbonylamino$ ,  $C_{1-4}alkanoyl$ , carboxy, phenyl, nitro, sulphate, phosphate and a group  $-Y^2R^{21}$ , wherein

$Y^2$  is  $-NR^{22}C(O)-$  or  $-O-C(O)-$  (wherein  $R^{22}$  represents hydrogen,  $C_{1-3}alkyl$  or  $C_{1-3}alkoxyC_{2-3}alkyl$ ) and

$R^{21}$  is  $C_{1-7}alkyl$ ,  $C_{3-7}cycloalkyl$  or a group  $R^{23}$  wherein  $R^{23}$  is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or

aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>haloalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, C<sub>1-4</sub>hydroxyalkoxy, carboxy, cyano, -CONR<sup>24</sup>R<sup>25</sup> and -NR<sup>26</sup>COR<sup>27</sup> (wherein R<sup>24</sup>, R<sup>25</sup>, R<sup>26</sup> and R<sup>27</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl);

with the proviso that at least two of R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are C<sub>1-7</sub>alkyl;

R<sup>4</sup> is hydrogen, cyano, halogeno, nitro, amino, hydroxy, C<sub>1-7</sub>alkoxy, C<sub>1-7</sub>thioalkoxy, C<sub>1-7</sub>alkanoyl or C<sub>1-7</sub>alkyl,

which alkyl group may bear one or more substituents selected from: halogeno, amino, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, hydroxy, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkylsulphanyl, C<sub>1-4</sub>alkylsulphonyl, C<sub>1-4</sub>alkoxycarbonylamino, C<sub>1-4</sub>alkanoyl, carboxy, phenyl, nitro, sulphate, phosphate and a group -Y<sup>3</sup>R<sup>28</sup>, wherein

Y<sup>3</sup> is -NR<sup>29</sup>C(O)- or -O-C(O)- (wherein R<sup>29</sup> represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and

R<sup>28</sup> is C<sub>1-7</sub>alkyl, C<sub>3-7</sub>cycloalkyl or a group R<sup>30</sup> wherein R<sup>30</sup> is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>haloalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, C<sub>1-4</sub>hydroxyalkoxy, carboxy, cyano, -CONR<sup>31</sup>R<sup>32</sup> and -NR<sup>31</sup>COR<sup>32</sup> (wherein R<sup>31</sup>, R<sup>32</sup>, R<sup>33</sup> and R<sup>34</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl);

R<sup>5</sup> and R<sup>6</sup> are each independently selected from hydrogen, -OPO<sub>3</sub>H<sub>2</sub>, phosphonate, cyano, halogeno, nitro, amino, carboxy, carbamoyl, hydroxy, C<sub>1-7</sub>alkoxy, C<sub>1-7</sub>alkanoyl, C<sub>1-7</sub>thioalkoxy, C<sub>1-7</sub>alkyl,

which alkyl group may bear one or more substituents selected from: halogeno, amino, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, hydroxy, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkylsulphanyl, C<sub>1-4</sub>alkylsulphonyl, C<sub>1-4</sub>alkoxycarbonylamino, C<sub>1-4</sub>alkanoyl, carboxy, phenyl, sulphate, phosphate and a group -Y<sup>3</sup>R<sup>28</sup>, wherein

Y<sup>3</sup> is -NR<sup>29</sup>C(O)- or -O-C(O)- (wherein R<sup>29</sup> represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and

R<sup>28</sup> is C<sub>1-7</sub>alkyl, C<sub>3-7</sub>cycloalkyl or a group R<sup>30</sup> wherein R<sup>30</sup> is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>haloalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, C<sub>1-4</sub>hydroxyalkoxy, carboxy, cyano, -CONR<sup>31</sup>R<sup>32</sup> and -NR<sup>31</sup>COR<sup>32</sup> (wherein R<sup>31</sup>, R<sup>32</sup>, R<sup>33</sup> and R<sup>34</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl), and

a group -Y<sup>4</sup>R<sup>35</sup>, wherein

Y<sup>4</sup> is -C(O)-, -OC(O)-, -O-, -SO-, -SO<sub>2</sub>-, -OSO<sub>2</sub>-, -NR<sup>36</sup>-, -C<sub>1-4</sub>alkylNR<sup>36</sup>-, -C<sub>1-4</sub>alkylC(O)-, -NR<sup>37</sup>C(O)-, -OC(O)O-, -C(O)NR<sup>38</sup>- or -NR<sup>39</sup>C(O)O- (wherein R<sup>36</sup>, R<sup>37</sup>, R<sup>38</sup> and R<sup>39</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and

R<sup>35</sup> is a sugar moiety, a mono-peptide, a di-peptide, a tri-peptide, a tetra-peptide, sulphate, hydroxy, amino, C<sub>1-7</sub>alkyl, C<sub>1-7</sub>alkoxy, C<sub>1-7</sub>alkanoyl, C<sub>1-7</sub>alkylamino, di(C<sub>1-7</sub>alkyl)amino, aminoC<sub>1-7</sub>alkylamino, C<sub>1-7</sub>alkylaminoC<sub>1-7</sub>alkylamino, C<sub>1-7</sub>alkanoylaminoC<sub>1-7</sub>alkyl, di(C<sub>1-7</sub>alkyl)aminoC<sub>1-7</sub>alkylamino, C<sub>1-7</sub>alkylphosphate, C<sub>1-7</sub>alkylphosphonate, C<sub>1-7</sub>alkylcarbamoylC<sub>1-7</sub>alkyl,

which alkyl, alkoxy, alkanoyl, alkylamino, dialkylamino, aminoalkylamino, alkylaminoalkylamino, alkanoylaminoalkyl, dialkylaminoalkylamino, alkylphosphate, alkylphosphonate or alkylcarbamoylalkyl, may bear one or more

substituents selected from: halogeno, amino, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, hydroxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkylsulphanyl, C<sub>1-4</sub>alkylsulphonyl, C<sub>1-4</sub>alkoxycarbonylamino, C<sub>1-4</sub>alkanoyl, carboxy, phenyl, nitro, sulphate, phosphate and a group -Y<sup>5</sup>R<sup>40</sup>, wherein

Y<sup>5</sup> is -NR<sup>41</sup>C(O)-, -C(O)NR<sup>42</sup>-, -C(O)-O- or -O-C(O)- (wherein R<sup>41</sup> and R<sup>42</sup> which may be the same or different each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and

R<sup>40</sup> is C<sub>1-7</sub>alkyl, C<sub>3-7</sub>cycloalkyl, carboxyC<sub>1-7</sub>alkyl or a group R<sup>43</sup> wherein R<sup>43</sup> is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>haloalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, C<sub>1-4</sub>hydroxyalkoxy, carboxy, cyano, -CONR<sup>44</sup>R<sup>45</sup> and -NR<sup>46</sup>COR<sup>47</sup> (wherein R<sup>44</sup>, R<sup>45</sup>, R<sup>46</sup> and R<sup>47</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl),

R<sup>48</sup>, wherein R<sup>48</sup> is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from

hydroxy, nitro, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>haloalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>hydroxyalkyl)aminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>aminoalkyl)aminoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkoxy, carboxy, C<sub>1-4</sub>carboxyalkyl, phenyl, cyano, -CONR<sup>49</sup>R<sup>50</sup>, -NR<sup>51</sup>COR<sup>52</sup> (wherein R<sup>49</sup>, R<sup>50</sup>, R<sup>51</sup> and R<sup>52</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and C<sub>1-4</sub>alkylR<sup>53</sup> (wherein R<sup>53</sup> is as defined herein),

$C_{1-7}alkylR^{48}$  (wherein  $R^{48}$  is as defined herein),

$R^{53}$ , wherein  $R^{53}$  is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno,  $C_{1-4}alkyl$ ,  $C_{1-4}hydroxyalkyl$ ,  $C_{1-4}alkoxy$ ,  $C_{1-4}carboxyalkyl$ ,  $C_{1-4}aminoalkyl$ ,  $di(C_{1-4}alkyl)aminoC_{1-4}alkyl$ ,  $C_{1-4}alkoxyC_{1-4}alkyl$ ,  $C_{1-4}alkylsulphonylC_{1-4}alkyl$  and  $R^{54}$ , wherein  $R^{54}$  is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno,  $C_{1-4}alkyl$ ,  $C_{1-4}hydroxyalkyl$ ,  $C_{1-4}alkoxy$ ,  $C_{1-4}alkoxyC_{1-4}alkyl$  and  $C_{1-4}alkylsulphonylC_{1-4}alkyl$ , or

$(CH_2)_aY^6(CH_2)_bR^{53}$ , wherein

$R^{53}$  is as defined herein, a is 0, or an integer 1-4,

b is 0 or an integer 1-4 and

$Y^6$  represents a direct bond, -O-, -C(O)-, -NR<sup>55</sup>-, -NR<sup>56</sup>C(O)- or -C(O)NR<sup>57</sup>-

(wherein  $R^{55}$ ,  $R^{56}$ , and  $R^{57}$ , which may be the same or different, each represents hydrogen,  $C_{1-3}alkyl$  or  $C_{1-3}alkoxyC_{2-3}alkyl$ ),

and wherein one or more of the  $(CH_2)_a$  or  $(CH_2)_b$  groups may bear one or more substituents selected from hydroxy, amino and halogeno;

with the proviso that  $R^5$  is not hydroxy, alkoxy, substituted alkoxy (wherein  $R^5$  is  $Y^4R^{35}$  and  $Y^4$  is -O- and  $R^{35}$  is  $C_{1-7}alkyl$  bearing one or more substituents selected from the list given herein), -OPO<sub>3</sub>H<sub>2</sub>, -O- $C_{1-7}alkanoyl$  or benzyloxy;

with the further proviso that at least one of  $R^5$  or  $R^6$  is a group - $Y^4R^{35}$  (wherein  $Y^4$  and  $R^{35}$  are as defined herein) but with the further provisos

that when  $R^5$  is  $-Y^4R^{35}$  and  $R^6$  is hydrogen, hydroxy, methoxy or methoxycarbonyl,  $-Y^4R^{35}$  is not selected from cases wherein:

$Y^4$  is  $-C(O)-$ ,  $-OC(O)-$ ,  $-O-$ ,  $-SO-$ ,  $-OSO_2-$ ,  $-NR^{36}-$ ,  $-NR^{37}C(O)-$  or  $-C(O)NR^{38}-$  (wherein  $R^{36}$ ,  $R^{37}$  and  $R^{38}$ , which may be the same or different, each represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl) and

$R^{35}$  is a glycine, valine or lysine group, a dipeptide of glycine and valine groups,  $C_{1-7}$ alkyl,  $C_{1-7}$ alkoxy,  $C_{1-7}$ alkanoyl, (which alkyl, alkoxy or alkanoyl may bear one or more substituents selected from: halogeno, hydroxy, and a group  $-Y^5R^{40}$  (wherein  $Y^5$  is  $-O-C(O)-$  and  $R^{40}$  is  $C_{1-7}$ alkyl), or  $R^{48}$ , wherein  $R^{48}$  is a tetrazolyl group (which may or may not be substituted as herein defined), a phenyl group or a benzyl group which phenyl or benzyl group may bear one or more substituents selected from  $C_{1-4}$ alkyl; and

that when  $R^6$  is  $-Y^4R^{35}$  and  $R^5$  is hydrogen, methoxy or methoxycarbonyl,  $-Y^4R^{35}$  is not selected from cases wherein:

$Y^4$  is  $-C(O)-$ ,  $-O-$  or  $-OSO_2-$  and

$R^{35}$  is  $C_{1-7}$ alkyl,  $C_{1-7}$ alkoxy (which alkyl, alkoxy or alkanoyl may bear one or more substituents selected from: halogeno),  $R^{48}$  (wherein  $R^{48}$  is a benzyl group which benzyl group may bear one or more substituents selected from  $C_{1-4}$ alkyl), or  $R^{53}$  (wherein  $R^{53}$  is piperidinyl);

or a salt thereof.

**Claim 3 (canceled).**

**Claim 4 (previously presented):** A compound according to claim 2 wherein  
X is  $-CH(R^7)-$ , wherein



$R^7$  is  $-OR^8$  or  $-NR^8R^9$ , wherein  $R^8$  is a group  $-Y^1R^{10}$  (wherein  $Y^1$  is  $-C(O)-$ ,  $-C(O)O-$  or  $-C(O)NR^{11}-$  (wherein  $R^{11}$  represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl) and  $R^{10}$  is as defined in claim 2) and  $R^9$  is as defined in claim 2.

Claim 5 (**previously presented**): A compound according to claim 2 wherein  $R^1$ ,  $R^2$  and  $R^3$  are each methyl.

Claim 6 (**previously presented**): A compound according to claim 2 wherein  $R^4$  is hydrogen.

Claim 7 (**previously presented**): A compound according to claim 2 wherein  $R^6$  is hydrogen, halogeno, amino, carboxy, hydroxy,  $C_{1-7}$ alkoxy or a group  $Y^4R^{35}$ , wherein

$Y^4$  is  $-C(O)-$ ,  $-O-$  or  $-OSO_2-$  and

$R^{35}$  is  $C_{1-7}$ alkyl,  $C_{1-7}$ alkoxy (which alkyl or alkoxy may bear one or more substituents selected from halogeno),  $R^{48}$  (wherein  $R^{48}$  is a benzyl group) or  $R^{53}$  (wherein  $R^{53}$  is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms selected independently from O, S and N).

Claim 8 (**previously presented**): A compound according to claim 2 wherein  $R^6$  is hydrogen,  $C(O)OCH_3$  or methoxy.

Claim 9 (**previously presented**): A compound according to claim 2 wherein  $R^5$  is hydrogen, halogeno, amino, carboxy, carbamoyl,  $C_{1-7}$ alkanoyl,  $C_{1-7}$ thioalkoxy, or a group  $-Y^4R^{35}$ , wherein

$Y^4$  is  $-C(O)-$ ,  $-OC(O)-$ ,  $-O-$ ,  $-SO-$ ,  $-OSO_2-$ ,  $-NR^{36}-$ ,  $-NR^{37}C(O)-$  or  $-C(O)NR^{38}-$  (wherein  $R^{36}$ ,  $R^{37}$  and  $R^{38}$ , which may be the same or different, each represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl) and

$R^{35}$  is a sugar moiety, a mono-peptide, a di-peptide, a tri-peptide, a tetra-peptide,  $C_{1-7}$ alkyl,  $C_{1-7}$ alkoxy,  $C_{1-7}$ alkanoyl,  $C_{1-7}$ alkanoylamino $C_{1-7}$ alkyl,

which alkyl, alkoxy, alkanoyl, alkanoylaminoalkyl may bear one or more substituents selected from: halogeno, amino, hydroxy, carboxy, and a group  $-Y^5R^{40}$ , wherein

$Y^5$  is  $-C(O)-O-$  or  $-O-C(O)-$  and

$R^{40}$  is  $C_{1-7}$ alkyl or a group  $R^{43}$  wherein  $R^{43}$  is a benzyl group,

$R^{48}$ , wherein  $R^{48}$  is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from

hydroxy, fluoro, amino,  $C_{1-4}$ alkoxy,  $C_{1-4}$ hydroxyalkyl,  $C_{1-4}$ aminoalkyl,  $C_{1-4}$ alkylamino, di( $C_{1-4}$ alkyl)amino, di( $C_{1-4}$ alkyl)amino $C_{1-4}$ alkyl, di( $C_{1-4}$ hydroxyalkyl)amino $C_{1-4}$ alkyl, di( $C_{1-4}$ aminoalkyl)amino $C_{1-4}$ alkyl,  $C_{1-4}$ hydroxyalkoxy, carboxy,  $C_{1-4}$ carboxyalkyl, cyano,  $-CONR^{49}R^{50}$ ,  $-NR^{51}COR^{52}$  (wherein  $R^{49}$ ,  $R^{50}$ ,  $R^{51}$  and  $R^{52}$ , which may be the same or different, each represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl) and  $C_{1-4}$ alkyl $R^{53}$  (wherein  $R^{53}$  is as defined herein),  $C_{1-7}$ alkyl $R^{48}$  (wherein  $R^{48}$  is as defined herein),  $R^{53}$ , wherein

$R^{53}$  is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, fluoro, chloro,  $C_{1-4}$ alkyl,  $C_{1-4}$ hydroxyalkyl,  $C_{1-4}$ alkoxy,  $C_{1-4}$ carboxyalkyl,  $C_{1-4}$ aminoalkyl, di( $C_{1-4}$ alkyl)amino $C_{1-4}$ alkyl,

C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl and R<sup>54</sup>, wherein R<sup>54</sup> is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl and C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl, or

(CH<sub>2</sub>)<sub>a</sub>Y<sup>6</sup>(CH<sub>2</sub>)<sub>b</sub>R<sup>53</sup>, wherein

R<sup>53</sup> is as defined herein,

a is 0, or an integer 1-4,

b is 0 or an integer 1-4 and

Y<sup>6</sup> represents a direct bond, -O-, -C(O)-, -NR<sup>55</sup>-, -NR<sup>56</sup>C(O)- or -C(O)NR<sup>57</sup>-

(wherein R<sup>55</sup>, R<sup>56</sup>, and R<sup>57</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl),

and wherein one or more of the (CH<sub>2</sub>)<sub>a</sub> or (CH<sub>2</sub>)<sub>b</sub> groups may bear one or more substituents selected from hydroxy, amino and halogeno;

with the proviso that R<sup>5</sup> is not alkoxy, substituted alkoxy (wherein R<sup>5</sup> is Y<sup>4</sup>R<sup>35</sup> and Y<sup>4</sup> is -O- and R<sup>35</sup> is C<sub>1-7</sub>alkyl bearing one or more substituents selected from the list given herein), -O-C<sub>1-7</sub>alkanoyl or benzyloxy.

Claim 10 (**original**): A compound according to claim 2 selected from:

(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl 3-  
{[(2*R*)-2,6-diaminohexanoyl]amino}propanoate,

(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl  
3-[(2-aminoacetyl)amino]propanoate,

*N*-([(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]  
oxymethyl)-2-morpholinoacetamide,

(2*S*,3*S*,4*S*,5*R*,6*R*)-6-{{[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo-  
[*a,c*]cyclohepten-3-yl]oxy}-3,4,5-trihydroxytetrahydro-2*H*-pyran-2-carboxylic acid,  
*N*-[(5*S*)-3-(4-{4-methylpiperazin-1-ylmethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-di-  
hydro-5*H*-dibenzo[*a,c*]cyclohepten-5-yl]acetamide,  
*N*-[(5*S*)-3-(4-{morpholinomethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-dihydro-5*H*-d-  
ibenzo[*a,c*]cyclohepten-5-yl]acetamide,  
(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl  
3-[4-methylpiperazin-1-ylcarbonyl]propanoate,  
5-[[[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]  
oxycarbonyl]pentanoic acid,  
4-(3-[[[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl  
]oxy-3-oxopropyl]benzoic acid and  
(2*S*)-*N*-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]-  
cyclohepten-3-yl]-2-amino-3-hydroxypropanamide,  
and salts thereof.

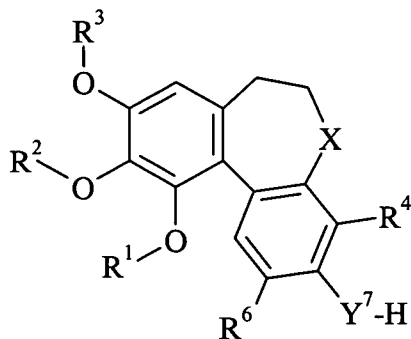
Claim 11 (**original**): A compound according to claim 2 selected from  
*N*-[(5*S*)-3-(4-{4-methylpiperazin-1-ylmethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-di-  
hydro-5*H*-dibenzo[*a,c*]cyclohepten-5-yl]acetamide and  
(2*S*)-*N*-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]-  
cyclohepten-3-yl]-2-amino-3-hydroxypropanamide,  
and salts thereof.

Claim 12 (**original**): A compound according to claim 2 selected from  
(2*S*)-*N*-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]-  
cyclohepten-3-yl]-2-amino-5-[(2-nitroethanimidoyl)amino]pentanamide

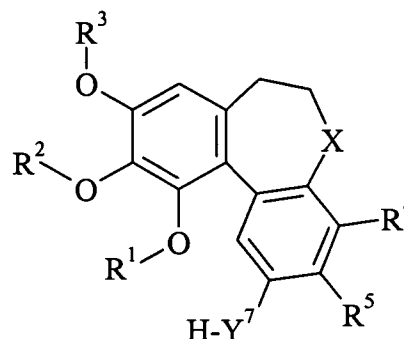
and salts thereof.

**Claim 13 (previously presented):** A process for the manufacture of a compound of formula IIa as defined in claim 2 which comprises:

- (a) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{35}$  (wherein  $R^{35}$  is as defined in claim 2 and  $Y^4$  is a group  $-OC(O)-$  or  $-NHC(O)-$ ), the reaction of a compound of formula III or IV:



(III)

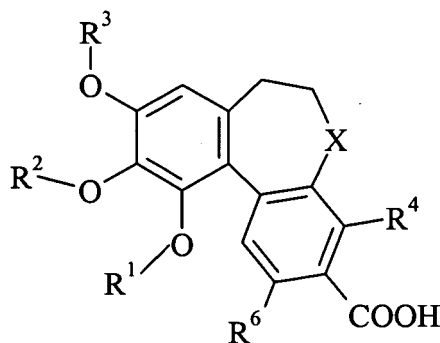


(IV)

(wherein  $X$ ,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$  are as defined in claim 2 and  $Y^7$  is  $-O-$  or  $-NH-$ ), by acylation or coupling reactions;

- (b) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{35}$  (wherein  $R^{35}$  is  $C_{1-7}$ alkoxy which may be substituted as defined in claim 2 and  $Y^4$  is a group  $-OC(O)-$  or  $-NHC(O)-$ ), the reaction of a compound of formula III and IV, by acylation reactions;
- (c) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{35}$  (wherein  $R^{35}$  is amino $C_{1-7}$ alkylamino,  $C_{1-7}$ alkylamino $C_{1-7}$ alkylamino, di( $C_{1-7}$ alkyl)amino $C_{1-7}$ alkylamino and may be substituted as defined in claim 2, or is  $R^{53}$  (wherein  $R^{53}$  is as defined in claim 2) and  $Y^4$  is a group  $-OC(O)-$  or  $-NHC(O)-$ ), can be prepared by the reaction of a compound of formula III or IV, acylation reactions;

- (d) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{35}$  (wherein  $R^{35}$  is a sugar moiety and  $Y^4$  is a group -O- or -NH-), the reaction of a compound of formula III or IV by glycosylation reactions;
- (e) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{35}$  (wherein  $R^{35}$  is sulphate and  $Y^4$  is a group -O- or -NH-), the reaction of a compound of formula III or IV, by sulphonylation reactions;
- (f) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{35}$  (wherein  $R^{35}$  is  $C_{1-7}$ alkylphosphate and may be substituted as defined in claim 2 and  $Y^4$  is a group -O- or -NH-), the reaction of a compound of formula III or IV, by phosphorylation reactions;
- (g) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  is amino the reaction of a carboxylic acid of formula V:



(V)

(wherein X,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$  and  $R^6$  are as defined in claim 2) via Curtius rearrangement and hydrolysis; and

- (h) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is chloro the reaction of a compound of formula III or IV by the Sandmeyer reaction;

and when a pharmaceutically acceptable salt of a compound of formula IIa is required, reaction of the compound obtained with an acid or base whereby to obtain the desired pharmaceutically acceptable salt.

Claim 14 (**original**): A pharmaceutical composition which comprises as active ingredient a compound of formula IIa as defined in claim 2 or a pharmaceutically acceptable salt thereof in association with a pharmaceutically acceptable excipient or carrier.

Claim 15 (**cancelled**).

Claim 16 (**currently amended**): A method of reducing neovascularization by selectively damaging newly formed vascular endothelium-epithelium in a warm-blooded animal in need thereof which comprises administering to said animal an effective amount of a compound of formula IIa or a pharmaceutically acceptable salt thereof as defined in any one of claims 2, 4, 7, 8, 9, 10, 11 and 12-claim 2.